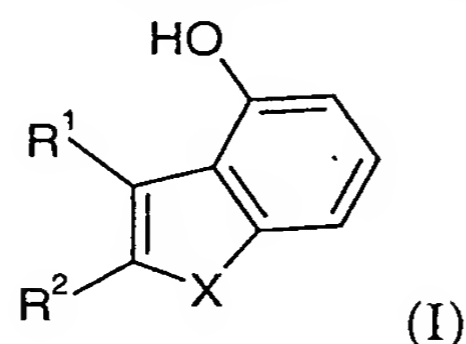


CLAIMS

1 A process for preparing a compound of the formula:



wherein

✓ [R¹ and R² are independently selected from the group consisting of hydrogen and lower-alkyl; or]

R¹ and R² together with the ring carbon atoms to which they are attached form [a-monovalent carbocyclic or] a monovalent carbocyclic aromatic ring or a monovalent carbocyclic or monovalent carbocyclic aromatic ring substituted by halogen, lower-alkyl or lower-alkoxy;

✓ X is [O, S or] N-Z;

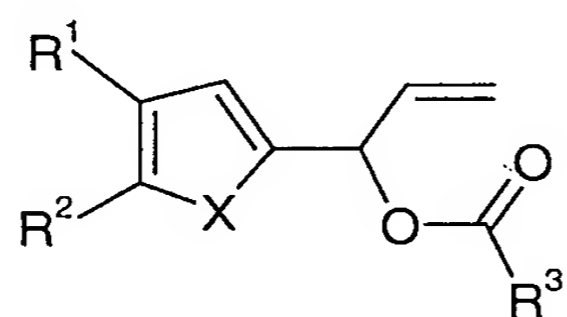
Z is an amino protecting group [selected from the group consisting of] SO₂Rᵃ, NMe₂, CO₂Rᵇ and CON(Rᶜ)₂;

Rᵃ is [lower-alkyl or] aryl; [and]

[Rᵇ and Rᶜ are lower-alkyl;]

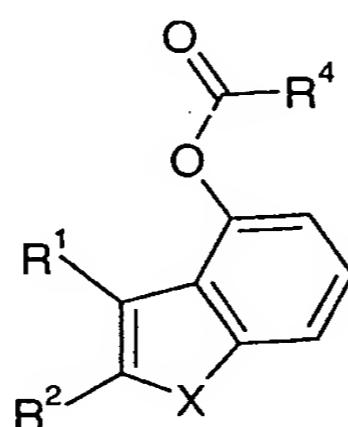
which comprises:

(a) cyclocarbonylating a compound of formula:



(II)

wherein R³ is lower-alkyl, aryl or aralkyl, and R¹, R² and X are as defined above; to form a compound of formula:



(III)

wherein R⁴ is lower-alkyl or aryl and R¹, R² and X are as defined above; and

(b) saponifying the compound of formula (III) to produce the compound of formula (I).

2. The process according to claim 1, wherein X is N-Z.

3. The process according to claim 1, wherein Z is SO_2R^a and R^a is phenyl.

4. The process according to claim 1, wherein R^1 and R^2 together with the ring carbon atoms to which they are attached form a phenyl ring.

5. The process according to claim 1, wherein R^3 is methyl or phenyl.

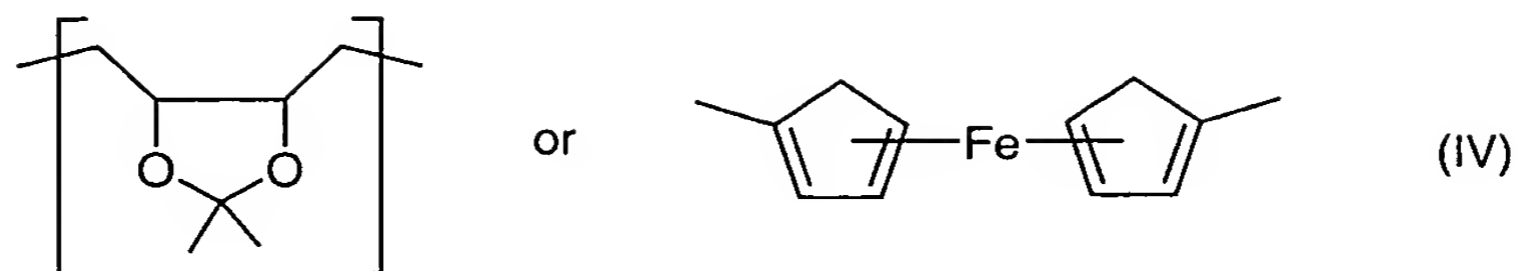
6. The process according to claim 1, wherein the cyclocarbonylating is carried out in the presence of a base, an anhydride, and a catalyst comprising a transition metal compound and a ligand.

7. The process according to claim 6, wherein the transition metal compound is a palladium salt.

8. The process according to claim 7, wherein the transition metal compound is selected from the group consisting of $\text{Pd}(\text{OAc})_2$, Pd_2dba_3 , PdCl_2 , $\text{Pd}_2\text{Cl}_2(\pi\text{-allyl})_2$, $\text{PdCl}_2(\text{NCMe})_2$, $[\text{Pd}(\text{NCMe})_4](\text{BF}_4)_2$ or Pd/C .

9. The process according to claim 8, wherein the transition metal compound is $\text{Pd}(\text{OAc})_2$.

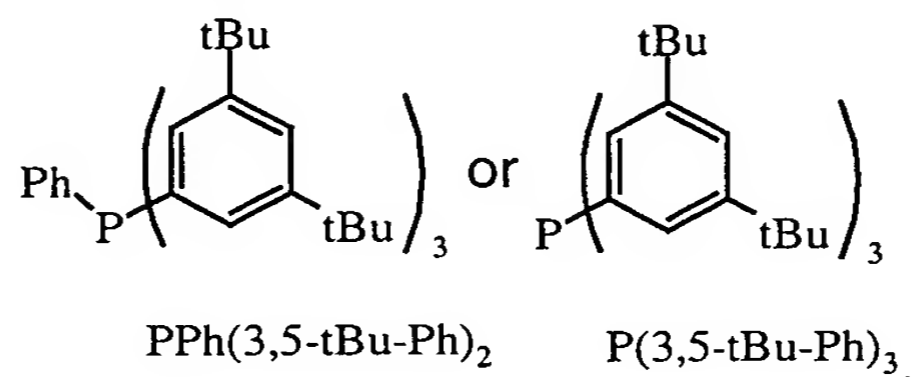
10. The process according to claim 6, wherein the ligand is $\text{P}(\text{R}^5)(\text{R}^6)(\text{R}^7)$ or $(\text{R}^5)(\text{R}^6)\text{P}(\text{Y})$ - $\text{P}(\text{R}^5)(\text{R}^6)$ wherein R^5 , R^6 and R^7 each independently are C_{1-8} -alkyl, cyclohexyl, benzyl, naphthyl, 2- or 3-pyrrolyl, 2- or 3-furyl, 2- or 3-thiophenyl, 2- or 3- or 4-pyridyl, phenyl or phenyl which is substituted by C_{1-4} -alkyl, C_{1-4} -alkoxy, halogen, trifluoromethyl, lower alkyldenedioxy or phenyl and Y is binaphthyl, 6,6'-dimethyl- or 6,6'-dimethoxybiphenyl-2,2'-diyl, or one of the groups $-(\text{CH}_2)_n-$, $-\text{CH}_2\text{CH}_2-\text{P}(\text{C}_6\text{H}_5)-\text{CH}_2\text{CH}_2-$,



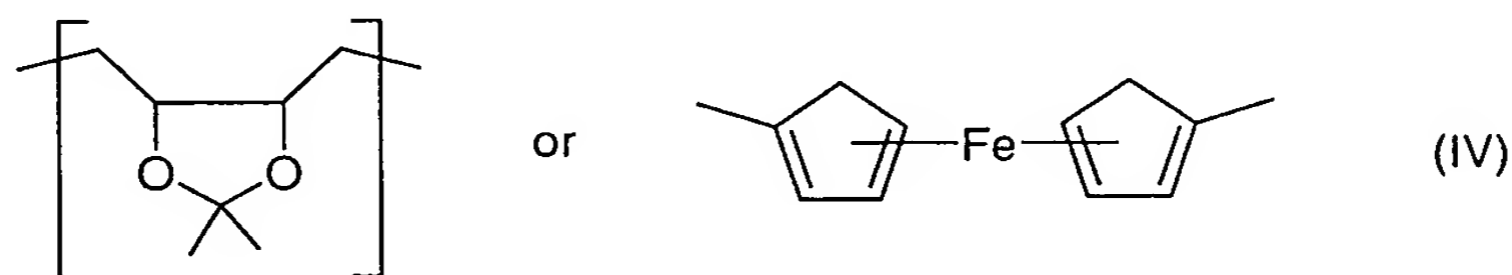
and n is a number of 1 – 8.

11. The process according to claim 10, wherein the ligand is selected from the group consisting of triphenylphosphine, and

12. The process according to claim 11, wherein the ligand is triphenylphosphine,

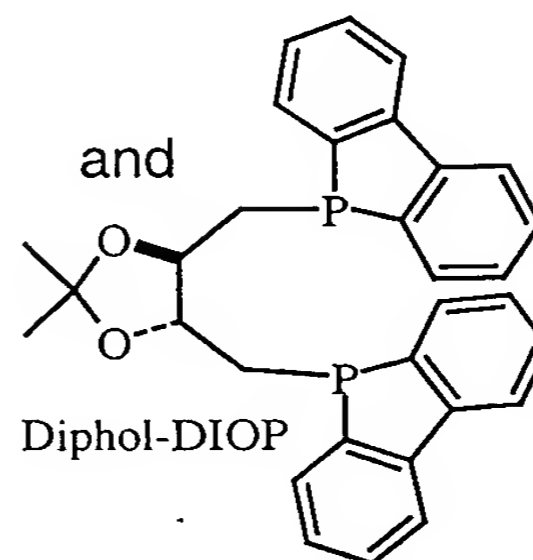
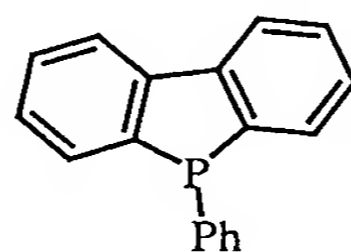
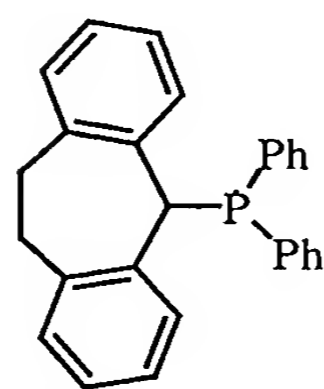
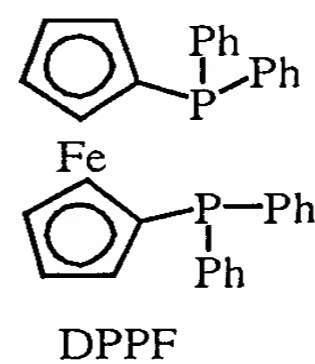
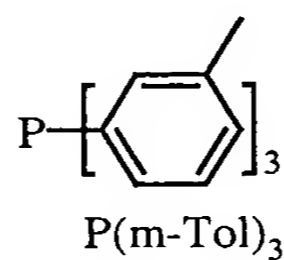
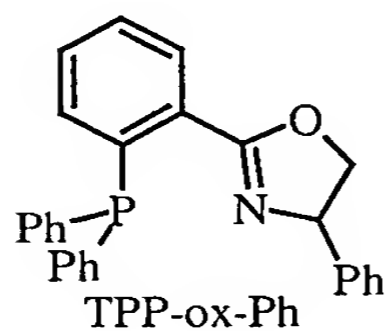
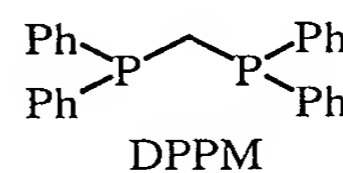
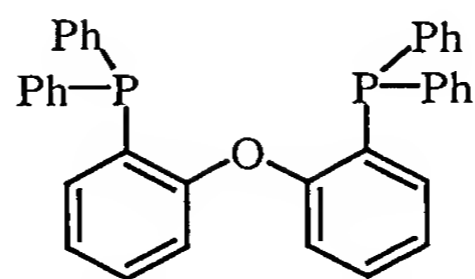
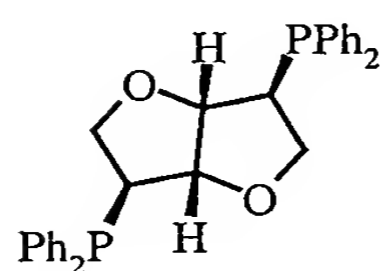
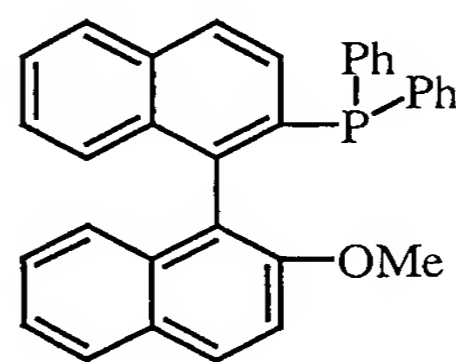
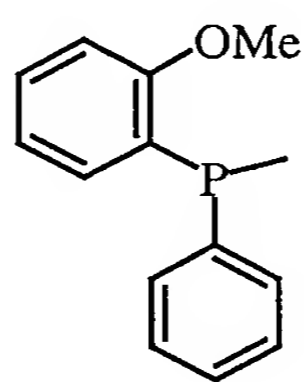
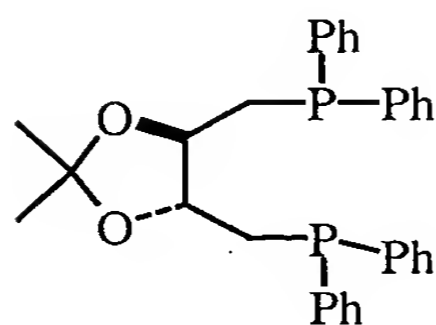
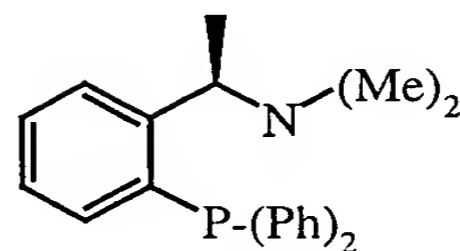
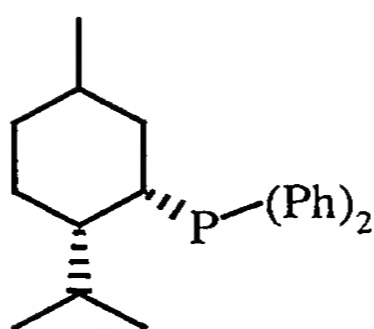
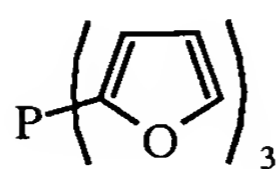
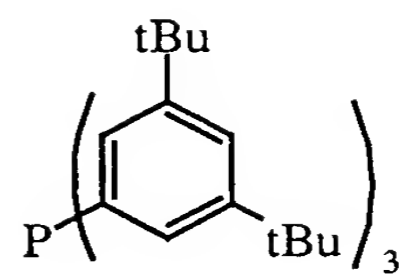
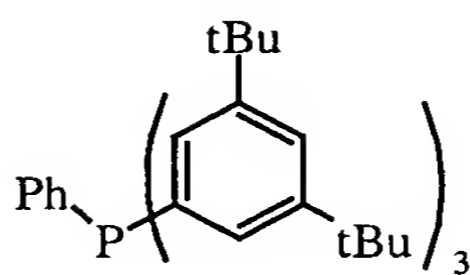
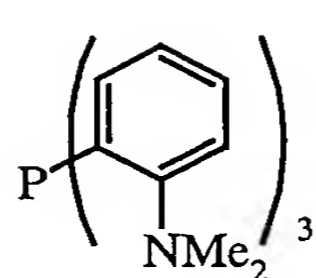


13. The process according to claim 8, wherein the ligand is $\text{P(R}^5\text{)(R}^6\text{)(R}^7\text{)}$ or $\text{(R}^5\text{)(R}^6\text{)P-(Y)-P(R}^5\text{)(R}^6\text{)}$ wherein R^5 , R^6 and R^7 each independently are C_{1-8} -alkyl, cyclohexyl, benzyl, naphthyl, 2- or 3-pyrrolyl, 2- or 3-furyl, 2- or 3-thiophenyl, 2- or 3- or 4-pyridyl, phenyl or phenyl which is substituted by C_{1-4} -alkyl, C_{1-4} -alkoxy, halogen, trifluoromethyl, lower alkyldenedioxy or phenyl and Y is binaphthyl, 6,6'-dimethyl- or 6,6'-dimethoxybiphenyl-2,2'-diyl, or one of the groups $\text{-(CH}_2\text{)}_n\text{-}$, $\text{-CH}_2\text{CH}_2\text{-P(C}_6\text{H}_5\text{)-CH}_2\text{CH}_2\text{-}$,

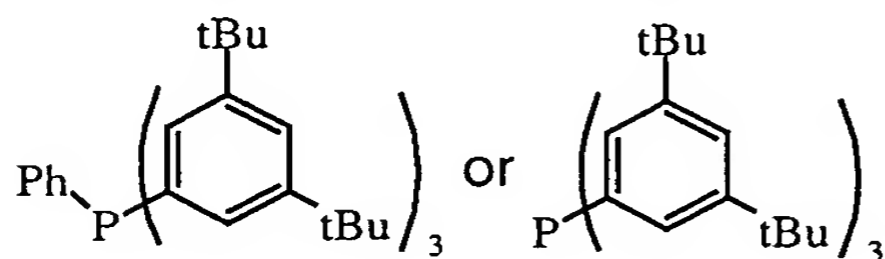


and n is a number of 1 – 8.

14. The process according to claim 13, wherein the ligand is selected from the group consisting of triphenylphosphine, and

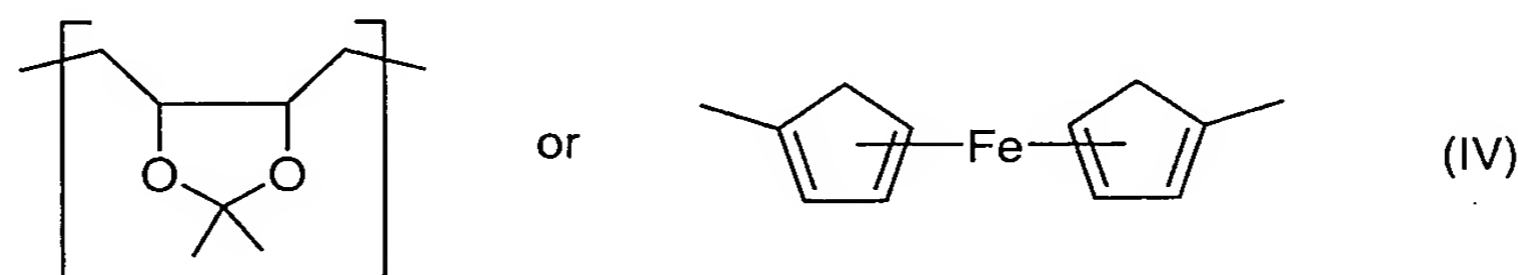


15. The process according to claim 14, wherein the ligand is triphenylphosphine,




13. PPh(3,5-tBu-Ph)_2 P(3,5-tBu-Ph)_3

16. The process according to claim 9, wherein the ligand is $\text{P(R}^5\text{)(R}^6\text{)(R}^7\text{)}$ or $\text{(R}^5\text{)(R}^6\text{)P-(Y)-P(R}^5\text{)(R}^6\text{)}$ wherein R^5 , R^6 and R^7 each independently are C_{1-8} -alkyl, cyclohexyl, benzyl, naphthyl, 2- or 3-pyrrolyl, 2- or 3-furyl, 2- or 3-thiophenyl, 2- or 3- or 4-pyridyl, phenyl or phenyl which is substituted by C_{1-4} -alkyl, C_{1-4} -alkoxy, halogen, trifluoromethyl, lower alkyldenedioxy or phenyl and Y is binaphthyl, 6,6'-dimethyl- or 6,6'-dimethoxybiphenyl-2,2'-diyl, or one of the groups $-(\text{CH}_2)_n-$, $-\text{CH}_2\text{CH}_2-\text{P}(\text{C}_6\text{H}_5)-\text{CH}_2\text{CH}_2-$,



and n is a number of 1 – 8.

17. The process according to claim 16, wherein the ligand is selected from the group consisting of triphenylphosphine, and

$$\text{Ph-P} \left(\text{C}_6\text{H}_2(\text{tBu})_2 \right)_3$$
$$\text{P} \left(\text{C}_6\text{H}_2(\text{tBu})_2 \right)_3$$
*c1ccoc1CN(C)[C@H](c1ccccc1)P(c2ccccc2)c3ccccc3


Chemical structure of compound 1: A biphenyl derivative. The top phenyl ring is substituted with a diphenylphosphino group ($\text{P}(\text{Ph})_2$) at the 2-position. The two rings are connected at the 1-position. The bottom phenyl ring is substituted with a methoxy group (OMe) at the 2-position.

O=P(c1ccccc1)c2ccccc2OP(c3ccccc3)P(c4ccccc4)c5ccccc5c1ccccc1P(c2ccccc2)CCP(c3ccccc3)c4ccccc4
DPPM

TPP-ox-Ph


$$\text{P}-\left[\text{C}_6\text{H}_4\text{CH}_3\right]_3$$

P(m-Tol)_3



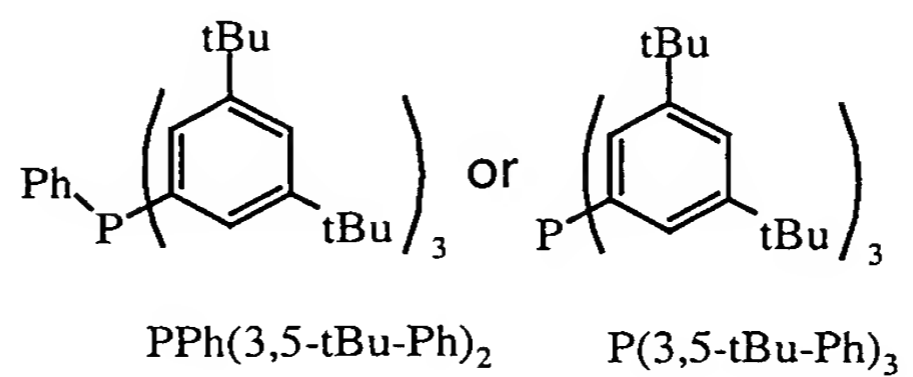
and

Diphol-DIOP



Diphol-DIOP

18. The process according to claim 17, wherein the ligand is triphenylphosphine,



19. The process according to claim 6, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide or salts of carbonic acids.

20. The process according to claim 19, wherein the cyclocarbonylating is carried out in the presence of triethylamine.

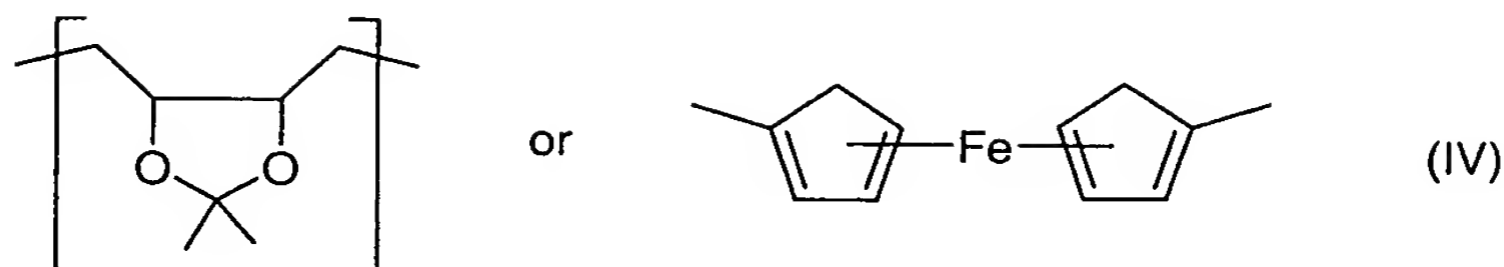
21. The process according to claim 10, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide or salts of carbonic acids.

22. The process according to claim 21, wherein the cyclocarbonylating is carried out in the presence of triethylamine.

23. The process according to claim 11, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide or salts of carbonic acids.

24. The process according to claim 23, wherein the cyclocarbonylating is carried out in the presence of triethylamine.

25. The process according to claim 12, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide or salts of carbonic acids.
26. The process according to claim 25, wherein the cyclocarbonylating is carried out in the presence of triethylamine.
27. The process according to claim 6, wherein the cyclocarbonylating is carried out in the presence of an anhydride of the formula $(R^4(C=O))_2O$, wherein R^4 is as defined in claim 1.
28. The process according to claim 27, wherein the cyclocarbonylating is carried out in the presence of an anhydride selected from acetic anhydride, propionic anhydride, butyric anhydride, isobutyric anhydride, pivalic anhydride and benzoic anhydride.
29. The process according to claim 1, wherein the saponifying is carried out in a biphasic mixture of sodium hydroxide in toluene or in a homogeneous mixture of sodium methylate in methanol.
30. The process according to claim 6, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide and salts of carbonic acids; an anhydride of the formula $(R^4(C=O))_2O$, wherein R^4 is as defined in claim 1; and a catalyst comprising a transition metal compound selected from the group consisting of $Pd(OAc)_2$, Pd_2dba_3 , $PdCl_2$, $Pd_2Cl_2(\pi\text{-allyl})_2$, $PdCl_2(NCMe)_2$, $[Pd(NCMe)_4](BF_4)_2$, and Pd/C , and a ligand selected from the group consisting of $P(R^5)(R^6)(R^7)$ and $(R^5)(R^6)P-(Y)-P(R^5)(R^6)$ wherein R^5 , R^6 and R^7 each independently are C_{1-8} -alkyl, cyclohexyl, benzyl, naphthyl, 2- or 3-pyrrolyl, 2- or 3-furyl, 2- or 3-thiophenyl, 2- or 3- or 4-pyridyl, phenyl or phenyl which is substituted by C_{1-4} -alkyl, C_{1-4} -alkoxy, halogen, trifluoromethyl, lower alkyldenedioxy or phenyl and Y is binaphthyl, 6,6'-dimethyl- or 6,6'-dimethoxybiphenyl-2,2'-diyl, or one of the groups $-(CH_2)_n-$, $-CH_2CH_2-P(C_6H_5)-CH_2CH_2-$,



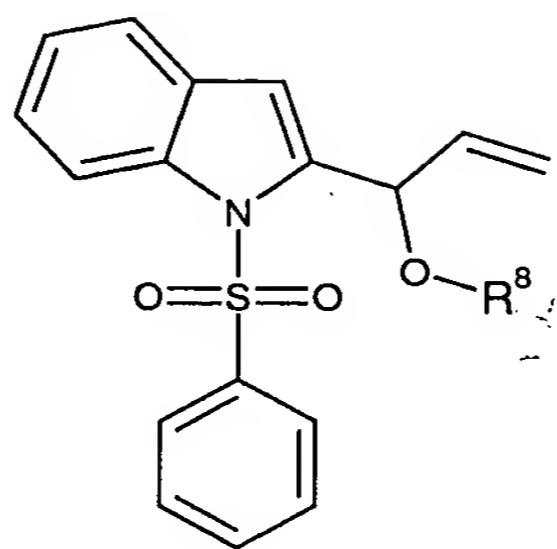
and n is a number of 1 – 8.

31. The process according to claim 30, wherein the saponifying is carried out in a biphasic mixture of sodium hydroxide in toluene or in a homogeneous mixture of sodium methylate in methanol.

32. A process for preparing 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-2-propanol, which comprises:

- a) cyclocarbonylating acetic acid 1-(1-benzenesulfonyl-1H-indol-2-yl)-allyl ester or benzoic acid 1-(1-benzenesulfonyl-1H-indol-2-yl)-allyl ester to give acetic acid 9-benzenesulfonyl-9H-carbazol-4-yl ester;
- b) saponifying the acetic acid 9-benzenesulfonyl-9H-carbazol-4-yl ester to give 9-benzenesulfonyl-9H-carbazol-4-ol;
- c) reacting the 9-benzenesulfonyl-9H-carbazol-4-ol with epichlorohydrin under basic conditions to give 9-benzenesulfonyl-4-oxiranylmethoxy-9H-carbazole;
- d) reacting the 9-benzenesulfonyl-4-oxiranylmethoxy-9H-carbazole with benzyl-[2-(2-methoxy-phenoxy)-ethyl]-amine to give a 1-(9-benzenesulfonyl-9H-carbazol-4-yloxy)-3-{benzyl-[2-(2-methoxy-phenoxy)ethyl]-amino}-propan-2-ol;
- e) deprotecting the 1-(9-benzenesulfonyl-9H-carbazol-4-yloxy)-3-{benzyl-[2-(2-methoxy-phenoxy)ethyl]-amino}-propan-2-ol under basic conditions to give 1-{benzyl-[2-(2-methoxy-phenoxy)-ethyl]-amino}-3-(9H-carbazol-4-yloxy)-propan-2-ol; and
- f) hydrogenating the 1-{benzyl-[2-(2-methoxy-phenoxy)-ethyl]-amino}-3-(9H-carbazol-4-yloxy)-propan-2-ol in an organic solvent to give 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-2-propanol.

33 A compound of formula:



(IIa)

wherein R^8 is hydrogen, acetyl or benzoyl.



A DOCPHOENIX

APPL PARTS

IMIS
Internal Misc. Paper

LET
Misc. Incoming Letter

371P
PCT Papers in a 371 Application

A...
Amendment Including Elections

22/01/02 ABST
Abstract

ADS
Application Data Sheet

AF/D
Affidavit or Exhibit Received

APPENDIX
Appendix

ARTIFACT
Artifact

BIB
Bib Data Sheet

CLM
Claim

COMPUTER
Computer Program Listing

CRFL
All CRF Papers for Backfile

DIST
Terminal Disclaimer Filed

DRW
Drawings

FOR
Foreign Reference

FRPR
Foreign Priority Papers

IDS
IDS Including 1449

NPL
Non-Patent Literature

OATH
Oath or Declaration

PET.
Petition

RETMAIL
Mail Returned by USPS

SEQLIST
Sequence Listing

SPEC
Specification

SPEC NO
Specification Not in English

TRNA
Transmittal New Application

CTNF
Count Non-Final

CTRS
Count Restriction

EXIN
Examiner Interview

M903
DO/EO Acceptance

M905
DO/EO Missing Requirement

NFDR
Formal Drawing Required

NOA
Notice of Allowance

PETDEC
Petition Decision

OUTGOING

CTMS
Misc. Office Action

1449
Signed 1449

892

ABN
Abandonment

APDEC
Board of Appeals Decision

APEA
Examiner Answer

CTAV
Count Advisory Action

CTEQ
Count Ex parte Quayle

CTFR
Count Final Rejection

INCOMING

AP.B
Appeal Brief

C.AD
Change of Address

N/AP
Notice of Appeal

PA..
Change in Power of Attorney

REM
Applicant Remarks in Amendment

XT/
Extension of Time filed separate

Internal

SRNT
Examiner Search Notes

CLMPTO
PTO Prepared Complete Claim Set

ECBOX
Evidence Copy Box Identification

WCLM
Claim Worksheet

WFEE
Fee Worksheet

File Wrapper

FWCLM
File Wrapper Claim

IIFW
File Wrapper Issue Information

SRFW
File Wrapper Search Info